PATENT SPECIFICATION

(11) 1 202 635

NO DRAWINGS

1 202 635

(21) Application No. 47070/68 (22) Filed 3 Oct. 1968

(31) Convention Application No. 680349 (32) Filed 3 Nov. 1967 in

(33) United States of America (US)

(45) Complete Specification published 19 Aug. 1970

(51) International Classification A 61 k 7/00

(52) Index at acceptance
A5B 771

(54) POLYPEPTIDE LOTION

(71) We, LUZIER INCORPORATED, a Corporation organized under the laws of the State of Delaware, United States of America, of 3216 Gillham Plaza, Box 496 Kansas City, State of Missouri, United States of America, do hereby declare the invention, for which we pray that a patent may be granted to us, and the method by which it is to be performed, to be particularly described in and by the following statement:—

This invention relates to stable skin care emulsions. More particularly, it relates to stable skin care oil-in-water emulsions containing water-soluble polypeptides.

It has long been recognized that protein hydrolyzates have a beneficial effect on the skin, and as a consequence, they have been incorporated in skin creams and lotions. However, to be useful in cosmetics of this character, they have to be in a water-soluble form and ordinarily appear in the aqueous phase of the composition.

It is also known that a number of other ingredients are advantageously included in skin care preparations which tend to improve the skin. These are exemplified by such materials as mineral oil, lanolin or acetylated lanolin alcohol, refined wax squalane (hexamethyltetracosane), which tend to be hydrophobic in character. Since it is advantageous to add the benefits to the skin contributed by these materials to the benefits of an aqueous solution of protein hydrolyzates, attempts are made to incorporate them in the protein solutions. This is usually accomplished through the use of an emulsifier which forms an oil-in-water emulsion in which the hydrophobic materials constitute the oil phase.

Although, in theory compositions of the above type (i.e., oil-in-water emulsions containing the protein hydrolyzate in the water phase and the other hydrophobic skin conditioners, moisturizers, etc. in the oil phase) are very effective, as a matter of fact, there are severe limitations on these products because of their tendency to be unstable. The principal difficulty is that these compositions have been very difficult to preserve. This had resulted in the growth of organisms in the composition which caused a coalescence of the emulsion.

Various attempts have been made to overcome this problem, all of which have left something to be desired. Thus, for example, the use of a combination of methyl - p - hydroxybenzoate, propyl p - hydroxybenzoate and benzalkonium chloride has been tried. However, these did not lead to a stable system; the system must also contain an anionic surfactant to be stable. Similarly, a combination of methyl p-hydroxybenzoate, propyl p - hydroxybenzoate and 6 - ethoxy - 2,4 - dimethyl - n - dioxane has also been tried. This, however, was unacceptable in that it darkened the products.

Studies also tended to indicate that cosmetic formulations containing water-soluble polypeptides should be preserved at the lowest possible acceptable pH. This placed a severe limitation on ingredients which could be incorporated in compositions of this character which would tend to raise the pH.

It has now been found that oil-in-water emulsions containing protein hydrolyzates in the water phase and hydrophobic materials in the oil phase may be very effectively preserved and thus stabilized by using as a preservative a combination of methyl p - hydroxybenzoate, propyl p - hydroxybenzoate and sodium ethylmercurithio - salicylate. This system is effective in the presence of anionic surfactants (e.g., sodium lauryl sulfate). Furthermore, it is effective in a pH range up to about 8.5 and causes no apparent darkening or oxidation of the product.

It is therefore an object of the present invention to provide a stable oil-in-water emulsion containing water-soluble polypeptides which is stable under the influence of temperature, time and normal storage conditions.

It is a further object of the present invention to provide a composition set out in the above object which will serve as a skin care composition capable of enhancing the absorption of water and polypeptide by the skin.

[*Price 5s. 0d. (25p)*]

Yet another object of the present invention is to provide a composition of the character set out in the above objects which is capable of imparting to skin persistent velvet-smooth films.

In preparing the skin care, protein hydrolyzate (i.e., polypeptide) oil-in-water emulsion compositions of the present invention, it is necessary to use a water-soluble polypeptide. Since these materials are water-soluble, the resulting solutions have a viscosity which is substantially identical with water. Any of a variety of water-soluble polypeptides may be used for this purpose. These will usually have a M.W. in the range of 1500 to 5000. Of particular interest are those polypeptides which contain the amino acids ordinarily found in skin. The source of these materials is usually animal skins.

Especially useful polypeptide for the purpose of the present invention are hydrolysates obtained from tanned leather. These are generally characterized as polypeptides having an average molecular weight of about 2500.

The quantity of polypeptide that will be used in accordance with the present invention will vary, depending upon the particular type of composition that is desired. In general, however, it will constitute between 0.8% to 2% by weight of the *total* composition, and preferably about 1.5% by weight.

As noted above, the composition of the present invention is an oil-in-water emulsion. The polypeptide, because of its water solubility, and because it is readily transferable to the skin from aqueous solutions, is contained substantially in the aqueous phase.

In addition to the polypeptide described above, it is advantageous to include in this composition a number of materials which are beneficial in skin care. Thus, for example, it is useful to incorporate therein squalane (i.e., hexamethyltetracosane). This ingredient acts as a liquid vehicle compatible with skin and sebum. It speeds up percutaneous penetration accelerates penetration through the horny layer. It is miscible with sebum and epidermal lipids, and stimulates skin respiration.

The quantity of squalane that may be used in accordance with the present invention may vary somewhat. In general, it will constitute between 2 to 3% by weight of the *total* composition, and preferably 2.5%. This material is hydrophobic in nature. Accordingly, in the present emulsion it will constitute part of the oil phase of the emulsion.

Allantoin is another material which can advantageously constitute a component of the present invention. It has been found to promote cell-proliferation and remove necroic tissue. It protects the skin from drying out and keeps it soft by helping to keep its proper moisture content. Allantoin is proven to be available to the tissues, whether in the form of creams, lotions, or powders. Also it has been found to have a protein-dispersing (denaturant) effect.

The quantity of allantoin which may be incorporated in the present composition may also be varied depending on the results desired. Ordinarily, it will constitute between 0.15% to 0.50% by weight of the total composition, and preferably 0.20%. Furthermore, since the allantoin is hydrophilic in character, it will be contained in the aqueous phase of the composition.

In addition to the components mentioned above, there may be contained in the compositions of this invention the usual additives for skin care preparations. These may include humectants, emollients, moisturizers, fillers, bulking agents, perfumes and emulsifiers. Furthermore, they may contain medicaments, and particularly medicaments which can help heal minor cuts or wounds.

The following are illustrations of the various additives which can be incorporated in the present invention:

(a) Humectants—glycerine, propylene glycol, sorbitol, polyethyleneglycol.

(b) Emollients—lanolin and its derivatives, e.g., acetylated lanolins, ethoxylated lanolin alcohols. Fatty acid alcohols and esters.

(c) Emulsifiers — glyceryl monostearate, polyoxyethylene glycol, sorbitan sesquioleate.

(d) Healing Agents—ethyl aminobenzoate, urea.

Preferred emollients used are acetylated lanolin alcohol in a range of from 2% to 5% and sodium lauryl sulfate in the range of from 0.10% to 0.40% based on the total weight of the composition.

As noted above, an important aspect of the present invention is in the utilization of the combination of methyl p - hydroxybenzoate, propyl p - hydroxybenzoate, and sodium ethylmercurithiosalicylate as a preservative system. The quantity of the respective materials may vary somewhat. However, the usual quantities that may be employed and the preferred quantities are set out in the table below. The percent by weight indicated below is on the basis of the *total* composition.

	Range % by Wt.	Preferred % by Wt.
methyl-p-hydroxybenzoate	0·08 to 0·2	0·1
propyl-p-hydroxybenzoate	0·03 to 0·1	0·05
sodium ethylmercurithiosalicylate	0·01 to 0·03	0·02

Ordinarily, the propyl - p - hydroxybenzoate

will be contained in the oil phase of the present emulsion composition. The methyl - p - hydroxybenzoate and the sodium ethylmercurithiosalicylate will comprise a portion or portions of the aqueous phase.

As noted above, the pH of the present composition can have a value up to about 8.5. However, ordinarily, it will be in the range of about 6.5 to 8.5, and preferably in creams having a value of about 6.8 and in lotions having a value of about 8.2.

The following examples are further illustrative of the present invention. It should be understood, however, that the invention is not limited thereto.

Example 1

A stable cosmetic cream is prepared as oil-in-water emulsion having the following composition, having a pH of about 6.5-7.0.

Oil Phase

Component	Per cent by Weight
Light mineral oil (Saybolt Viscosity 50–55)	10·0
Acetulan*	4·0
Stearic acid	4·0
Cetyl alcohol	2·0
Refined paraffin wax	5·0
Lanolin (odorless)	3·0
Squalane	3·0
Propyl p-hydroxybenzoate	0·05

*Acetylated lanolin fraction. Pale yellow liquid d. 0·867; neutral reaction; acid No. 0·36; saponification No. 190·3.

Aqueous Phase

Component	Per cent by Weight
Glycerin	2·0
Borax	0·5
Triethanolamine	0·7
Polypeptide LSN (water soluble)* 40% aq.	4·0
Methyl p-hydroxybenzoate	0·1
Sodium ethylmercurithiosalicylate	0·02
Allantoin	0·20
Distilled water	q.s. 100

Components of the oil phase are melted together to 180°F. Components of the aqueous phase are dissolved by heating to 185°F. The oil phase is then added to the aqueous phase with mild mixing to room temperature.

Example 2

A stable cosmetic lotion prepared from the following components having a pH of 8.0-8.5.

Oil Phase

Component	Per cent by weight
Glyceryl monostearate	2·7
Cetyl alcohol	1·5
Acetulan	2·0
Squalane	3·0
Propyl p-hydroxybenzoate	0·05

*Polypeptide, LSN: A water-soluble polypeptide having an average M.W. of about 2500 obtained from the partial hydrolysis of tanned leather.

Aqueous Phase

Component	Per cent by Weight
Polypeptide LSN (water soluble) 40% aq.	2·0
Sodium lauryl sulfate (USP Powder)	0·3
Methyl p-hydroxybenzoate	0·1
Sodium ethylmercurithiosalicylate	0·02
Allantoin	0·2
Distilled water	q.s. 100

The oil phase is added to the aqueous phase as explained in Example 1. Allantoin is dissolved in ten parts (relative to the allantoin) by weight of water, then added after the emulsion is formed.

In this stable formulation, 0.3 percent by weight of sodium lauryl sulfate (anionic) was incorporated to find out whether the product can be stable in the presence of anionic detergent. Also, in this stable formulation, 0.2 percent by weight of Allantoin. The incorporated in the cream to give the skin a velvet-smooth protective film. Also incorporated as a medicinal ingredient was 0.2 percent by weight of Allantion. The emulsion was tested at 115°F. temperature and freezing temperature for a period of 365 days. No rancidity, discoloration, or separation was observed.

WHAT WE CLAIM IS:—

1. A stable oil-in-water skin care emulsion composition containing a water-soluble polypeptide in the aqueous phase, said composition containing a stabilizing amount of a preservative system comprising methyl - *p* - hydroxybenzoate, propyl - p - hydroxybenzoate and sodium ethylmercurithiosalicylate.

2. A composition according to claim 1, containing by weight of the total composition:

(a) 0.08 to 0.20% methyl - *p* - hydroxybenzoate,

(b) 0.03 to 0.10% propyl - *p* - hydroxybenzoate, and

(c) 0.01 to 0.03% sodium ethylmercurithiosalicylate, and

(d) 0.8% to 2% of a water-soluble polypeptide having a molecular weight in the range of from 1500 to 5000.

3. A composition according to claim 2, including from 0.15 to 0.50% by weight of allantoin and from 2% to 3% by weight of squalane.

4. A composition according to claim 3, in which the pH is in the range of from 6.5 to 8.5.

5. A composition according to claims 1 to 4 including an anionic surfactant.

6. A composition according to claim 5, wherein the surfactant is sodium lauryl sulfate.

7. A composition according to claim 5, including an emollient and a humectant.

8. A composition according to claim 7, containing lanolin or acetylated lanolin alcohol.

9. A composition according to claim 2, wherein the polypeptide has a molecular weight in the range of about 2500.

10. A composition according to claim 9, containing on the basis of the total weight of the composition:

(a) 2% to 3% squalane,

(b) 2% to 5% acetylated lanolin alcohol,

(c) 0.15% to 0.50% allantoin,

(d) 0.10 to 0.40% sodium lauryl sulfate,

(e) 0.08% to 0.20% methyl - p - hydroxybenzoate,

(f) 0.03% to 0.1% propyl - p - hydroxybenzoate, and

(g) 0.01% to 0.03% sodium ethylmercurithiosalicylate.

STEVENS, HEWLETT & PERKINS,
Chartered Patent Agents,
5 Quality Court,
Chancery Lane,
London, W.C.2.

(7572) Printed by Her Majesty's Stationery Office Press, Edinburgh, 1970.
Published by The Patent Office, 25 Southampton Buildings, London, WC2A 1AY,
from which copies may be obtained.